

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	653	548/530.ccls.	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:01
L2	250	548/530.ccls. and 514/423.ccls.	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:28
L3	36	548/530.ccls. and 514/423.ccls. and 514/424.ccls.	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:28
L4	4	548/530.ccls. and 514/423.ccls. and 514/424.ccls. and pyrrolidinone	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:29
L5	25	548/530.ccls. and 514/423.ccls. and pyrrolidinone	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:29
L6	25	548/530.ccls. and 514/423.ccls. and pyrrolidinone	US-PGPUB; USPAT	OR	OFF	2006/07/21 13:29
S1	1	("4379785").PN.	USPAT; USOCR	OR	OFF	2006/07/21 13:01
S2	1	("5264449").PN.	USPAT; USOCR	OR	OFF	2006/07/21 11:09

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptasel1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 APR 04 STN AnaVist \$500 visualization usage credit offered  
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NEWS 6 MAY 11 KOREAPAT updates resume  
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and  
USPATFULL/USPAT2  
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS  
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 13 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 14 JUL 14 FSTA enhanced with Japanese patents  
NEWS 15 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
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FILE 'HOME' ENTERED AT 10:21:50 ON 21 JUL 2006

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:22:04 ON 21 JUL 2006

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STRUCTURE FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5  
DICTIONARY FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

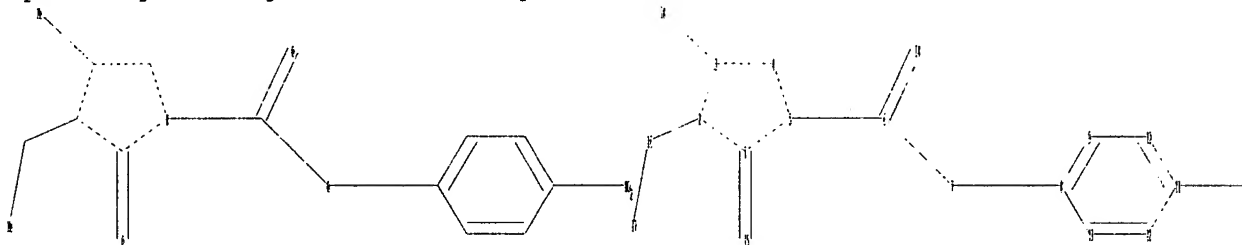
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10501743cl51.str



chain nodes :

6 7 14 15 16 17 18 19

ring nodes :

1 2 3 4 5 8 9 10 11 12 13

chain bonds :

1-15 2-16 3-18 5-6 6-7 6-14 7-8 11-19 16-17

ring bonds :

1-2 1-5 2-3 3-4 4-5 8-9 8-13 9-10 10-11 11-12 12-13

exact/norm bonds :

1-2 1-5 1-15 2-3 3-4 4-5 5-6 6-7 6-14 7-8

exact bonds :

2-16 3-18 11-19 16-17

normalized bonds :

8-9 8-13 9-10 10-11 11-12 12-13

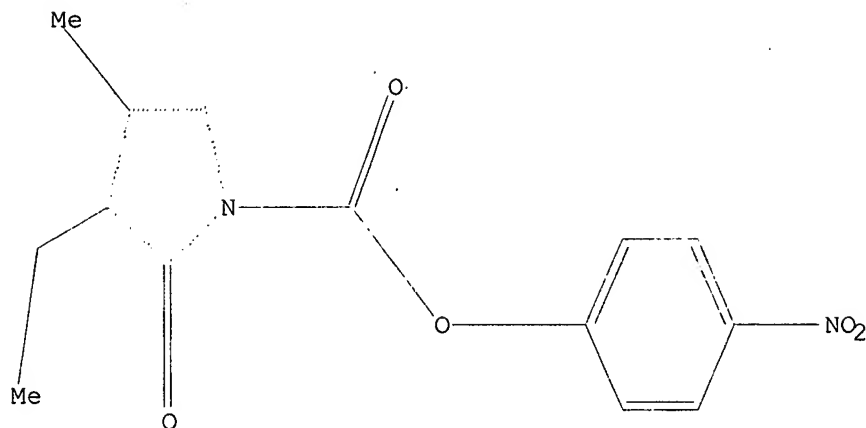
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS  
19:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1  
SAMPLE SEARCH INITIATED 10:22:19 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 3 TO 163  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full  
FULL SEARCH INITIATED 10:22:22 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 44 TO ITERATE

100.0% PROCESSED 44 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> fil caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

	SINCE FILE ENTRY	TOTAL SESSION
	166.94	167.15

FILE 'CAPLUS' ENTERED AT 10:22:25 ON 21 JUL 2006  
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FILE COVERS 1907 - 21 Jul 2006 VOL 145 ISS 5  
FILE LAST UPDATED: 20 Jul 2006 (20060720/ED)

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=> s l3

L4 1 L3

=> d ibib abs hitstr tot

ACCESSION NUMBER: 2003:551308 CAPLUS

DOCUMENT NUMBER: 139:101018

TITLE: Preparation of  
trans-3-ethyl-2,5-dihydro-4-methyl-N-[2-

[4-[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonylphenyl]ethyl]-2-oxo-1H-pyrrole-1-carboxamide (glimepiride) from 3-ethyl-4-methyl-3-pyrrolidin-2-one, 4-nitrophenyl chloroformate, 4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl isocyanate.

INVENTOR(S): Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni, Rohit Ravikant

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057131	A2	20030717	WO 2003-IN4	20030106
WO 2003057131	A3	20030828		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003235814	A1	20030724	AU 2003-235814	20030106
US 2005070593	A1	20050331	US 2004-501743	20040630
PRIORITY APPLN. INFO.:			IN 2002-MU9	A 20020107
			WO 2003-IN4	W 20030106

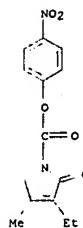
OTHER SOURCE(S): CASREACT 139:101018; MARPAT 139:101018

AB Glimepiride was prepared by successive treatment of 3-ethyl-4-methyl-3-pyrrolidin-2-one with XCO<sub>2</sub>R [X = halo, nitroaryl, haloaryl; Z = O, S, NY; Y = alkyl, haloalkyl, aralkyl; R = (substituted) aryl, heteroaryl], 4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl isocyanate.

IT 561052-28-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of glimepiride from ethylmethylpyrrolidinone, nitrophenyl chloroformate, aminoethylbenzenesulfonamide, and methylcyclohexyl isocyanate)

RN 561052-28-6 CAPLUS

CN 1H-Pyrrole-1-carboxylic acid, 3-ethyl-2,5-dihydro-4-methyl-2-oxo-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

5.57

172.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-0.75

-0.75

FILE 'REGISTRY' ENTERED AT 10:22:37 ON 21 JUL 2006

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DICTIONARY FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5

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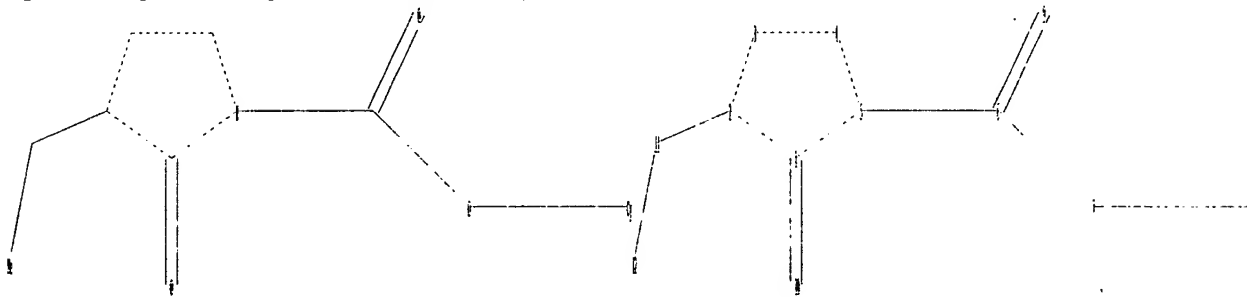
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Program Files\Stnexp\Queries\10501743e.str



chain nodes :

6 7 8 9 10 11 12

ring nodes :

1 2 3 4 5

chain bonds :

1-10 2-11 5-6 6-7 6-9 7-8 11-12

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-10 2-3 3-4 4-5 5-6 6-7 6-9 7-8

exact bonds :

2-11 11-12

Match level :

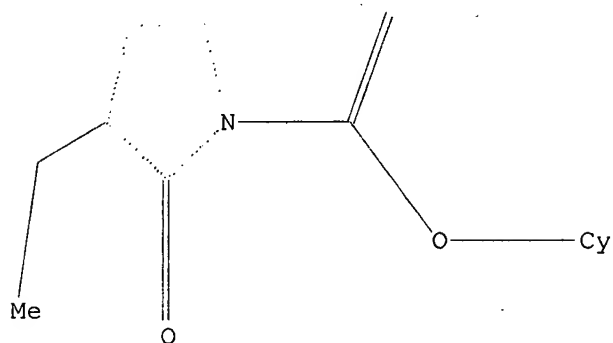
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS  
11:CLASS 12:CLASS

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 10:23:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 76 TO ITERATE

100.0% PROCESSED 76 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 997 TO 2043

PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 10:23:41 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1564 TO ITERATE

100.0% PROCESSED 1564 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L7 2 SEA SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

167.38

340.10



DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.75

FILE 'CAPLUS' ENTERED AT 10:23:43 ON 21 JUL 2006  
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 FILE LAST UPDATED: 20 Jul 2006 (20060720/ED)

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=> s 17

L8 2 L7

=> d ibib abs hitstr tot

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2003:551308 CAPLUS  
DOCUMENT NUMBER: 139:101018  
TITLE: Preparation of  
trans-3-ethyl-2,5-dihydro-4-methyl-N-[(2-

[4-[[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]-2-oxo-1H-pyrrole-1-carboxamide (glimepiride) from 3-ethyl-4-methyl-3-pyrrolidin-2-one, 4-nitrophenyl chloroformate, 4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl isocyanate.

INVENTOR(S): Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni, Rohit Ravkant  
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India  
SOURCE: PCT Int. Appl., 35 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057131	A2	20030717	WO 2003-IN4	20030106
WO 2003057131	A3	20030828		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003235814	A1	20030724	AU 2003-235814	20030106
US 2005070593	A1	20050331	US 2004-501743	20040630
PRIORITY APPLN. INFO.:			IN 2002-MU9	A 20020107
			WO 2003-IN4	W 20030106

OTHER SOURCE(S): CASREACT 139:101018; MARPAT 139:101018

AB Glimepiride was prepared by successive treatment of 3-ethyl-4-methyl-3-pyrrolidin-2-one with XCO<sub>2</sub>R [X = halo, nitroaryl, haloaryl; Z = O, S, NY; Y = alkyl, haloalkyl, aralkyl; R = (substituted) aryl, heteroaryl], 4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl isocyanate.

IT 561052-28-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of glimepiride from ethylmethylpyrrolidinone, nitrophenyl chloroformate, aminoethylbenzenesulfonamide, and methylcyclohexyl isocyanate)

RN 561052-28-6 CAPLUS  
CN 1H-Pyrrole-1-carboxylic acid, 3-ethyl-2,5-dihydro-4-methyl-2-oxo-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS ON STN

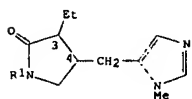
ACCESSION NUMBER: 1991:632242 CAPLUS  
DOCUMENT NUMBER: 115:232242  
TITLE: Preparation of pilocarpine analogs as antiglaucoma

INVENTOR(S): Albaugh, Pamela  
PATENT ASSIGNEE(S): Allergan, Inc., USA  
SOURCE: Eur. Pat. Appl., 24 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

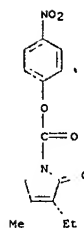
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 429232	A1	19910529	EP 1990-312351	19901113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5264449	A	19931123	US 1989-434929	19891113
CA 2027604	AA	19910514	CA 1990-2027604	19901015
ZA 9008386	A	19910822	ZA 1990-8386	19901019
IL 96086	A1	19950330	IL 1990-96088	19901023
AU 9066528	A1	19910516	AU 1990-66528	19901109
AU 631025	B2	19921112		
NO 9004901	A	19910514	NO 1990-4901	19901112
NO 177056	B	19950403		
NO 177056	C	19950712		
RU 2015978	C1	19940715	RU 1990-4831750	19901112
CN 1051730	A	19910529	CN 1990-109110	19901113
CN 1026589	B	19941116		
JP 03188075	A2	19910816	JP 1990-308428	19901113
HU 56360	A2	19910828	HU 1990-7116	19901113
HU 207512	B	19930428		
PRIORITY APPLN. INFO.:			US 1989-434929	A 19891113

OTHER SOURCE(S): MARPAT 115:232242  
GI



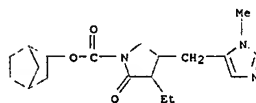
AB The title compds. [(3R, 4R)-I; R1 = CO<sub>2</sub>R; R = (un)substituted hydrocarbyl] were prepared. Thus, 4-(Me3C)C6H4CH<sub>2</sub>OH was condensed with ClCO<sub>2</sub>C6H4(NO<sub>2</sub>)-4 and the product condensed with I (R1 = H) to give I (R1 = CH<sub>2</sub>C6H4(CMe<sub>3</sub>)-4) which gave .apprx.1.25 mm decrease in rabbit pupil diameter 6 h after administration of a 1% solution. An ophthalmic prepn comprising I is given.  
IT 137140-89-7P

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as antiglaucoma agent)  
RN 137140-89-7 CAPLUS  
CN 1-Pyrrolidinecarboxylic acid, 3-ethyl-4-[(1-methyl-1H-imidazol-5-yl)methyl]-2-oxo-, bicyclo[2.2.1]hept-2-yl ester (9CI) (CA INDEX NAME)



=> fil reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
10.68	350.78

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.50	-2.25

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FILE 'REGISTRY' ENTERED AT 10:24:05 ON 21 JUL 2006

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DICTIONARY FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10501743f.str



chain nodes :

6 7 8 9 10

ring nodes :

1 2 3 4 5

chain bonds :

1-10 5-6 6-7 6-9 7-8

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-10 2-3 3-4 4-5 5-6 6-7 6-9 7-8

Match level :

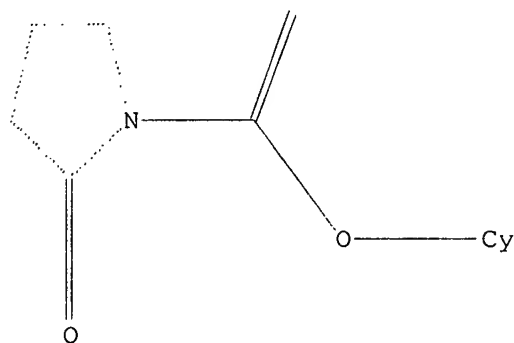
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS

L9 STRUCTURE UPLOADED

=> d

L9 HAS NO ANSWERS

L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 10:24:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 421 TO ITERATE

100.0% PROCESSED 421 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 7189 TO 9651

PROJECTED ANSWERS: 6 TO 266

L10 6 SEA SSS SAM L9

=> s 19 full

FULL SEARCH INITIATED 10:24:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8623 TO ITERATE

100.0% PROCESSED 8623 ITERATIONS

163 ANSWERS

SEARCH TIME: 00.00.01

L11 163 SEA SSS FUL L9

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

517.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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FILE COVERS 1907 - 21 Jul 2006 VOL 145 ISS 5  
FILE LAST UPDATED: 20 Jul 2006 (20060720/ED)

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=> s l11

L12 82 L11

=> fil reg

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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518.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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STRUCTURE FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5  
DICTIONARY FILE UPDATES: 19 JUL 2006 HIGHEST RN 894691-89-5

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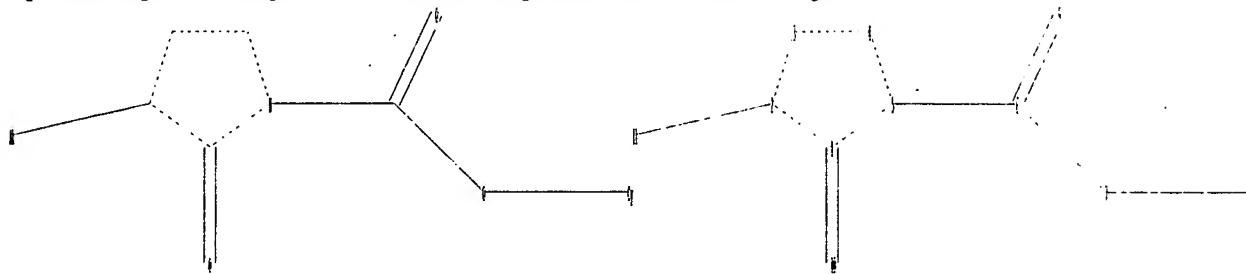
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10501743g.str



chain nodes :

6 7 8 9 10 11

ring nodes :

1 2 3 4 5

chain bonds :

1-10 2-11 5-6 6-7 6-9 7-8

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-10 2-3 2-11 3-4 4-5 5-6 6-7 6-9 7-8

Match level :

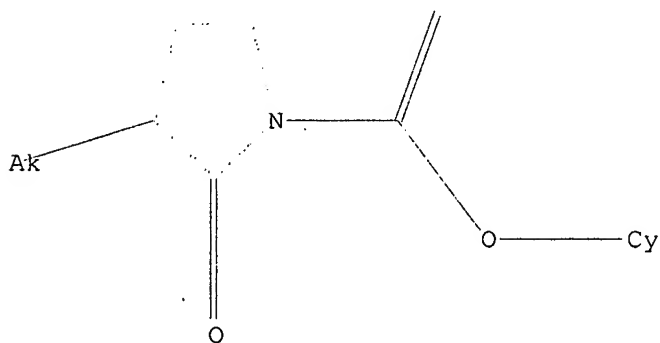
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS  
11:CLASS

L13 STRUCTURE UPLOADED

=> d

L13 HAS NO ANSWERS

L13 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l13

SAMPLE SEARCH INITIATED 10:25:25 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 421 TO ITERATE

100.0% PROCESSED 421 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 7189 TO 9651  
PROJECTED ANSWERS: 3 TO 163

L14 3 SEA SSS SAM L13

=> s l13 full

FULL SEARCH INITIATED 10:25:28 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 8623 TO ITERATE

100.0% PROCESSED 8623 ITERATIONS 19 ANSWERS  
SEARCH TIME: 00.00.01

L15 19 SEA SSS FUL L13

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	166.94	685.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
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FILE COVERS 1907 - 21 Jul 2006 VOL 145 ISS 5  
FILE LAST UPDATED: 20 Jul 2006 (20060720/ED)

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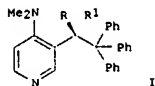
<http://www.cas.org/infopolicy.html>

=> s l15

L16 13 L15

=> d ibib abs hitstr tot

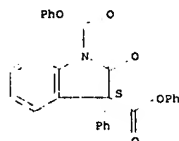
L16 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 2005:1342994 CAPLUS  
 DOCUMENT NUMBER: 144:232895  
 TITLE: Enantioselective TADMAP-Catalyzed Carboxyl Migration Reactions for the Synthesis of Stereogenic Quaternary Carbon  
 AUTHOR(S): Shaw, Scott A.; Aleman, Pedro; Christy, Justin; Kampf, Jeff W.; Va, Porino; Vedejs, Edwin  
 CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109, USA  
 SOURCE: Journal of the American Chemical Society (2006), 128(3), 925-934  
 CODEN: JACSRT; ISSN: 0002-7863  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 144:232895  
 GI



AB Nonracemic triphenylacetoxymethylindolylpyridines I (R = H, AcO; R1 = AcO, H) (TADMAP) and their racemate are prepared: I (R = H, AcO; R1 = AcO, H) are used as catalysts in the rearrangement of oxazolyl, benzofuranyl, furanyl, and indolyl enol carbonates to yield nonracemic azlactones, lactams and lactones. Lithium-bromine exchange of 3-bromo-4-(dimethylamino)pyridine, addition of the pyridinyl lithium reagent to triphenylacetaldehyde (prepared by reduction of triphenylacetic acid and selective oxidation), and quenching of the intermediate alkoxide by acetylation with acetic anhydride yields the racemate of I (R = H, AcO; R1 = AcO, H); the concentration, inverse addition procedure, temperature control during addition, and quench with acetic anhydride rather than water are important in obtaining good yields of the racemate of I (R = H; R1 = AcO) from the addition reaction and of avoiding fragmentation of the intermediate lithium alkoxide to a pyridinecarboxaldehyde and triphenylmethyl lithium. Resolution of the racemate of I with (+)- and (-)-camphorsulfonic acid provides both enantiomers of I (R = H, AcO; R1 = AcO, H). I (R = H, AcO; R1 = AcO, H) are effective catalysts for enantioselective rearrangements of oxazolyl, furanyl, and benzofuranyl enol carbonates with good to excellent enantioselectivities; the corresponding rearrangements of indolyl enol carbonates in the presence of I (R = H, AcO; R1 = AcO, H) are relatively slow and proceed with inconsistent enantioselectivities. Rearrangements of oxazolyl enol carbonates are especially efficient and are used to prepare chiral lactams and lactones containing quaternary asym. carbon atoms. The

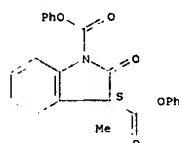
L16 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
 crystal structures of the monoethanol solvate of the di-O-benzoyl-L-tartaric acid salt of I (R = AcO; R1 = H) and of a bromophenyl oxofurancarboxylate are detd. by X-ray crystallog. Modeling studies (B3LYP/6-31G\*) are used for qual. correlations of catalyst conformation, reactivity, and enantioselectivity. 3-Methylindole (used to prep. indolyl enol carbonates) has a strong fecal odor and should be handled with caution.  
 IT 627877-90-1P 876337-66-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of nonracemic oxindoles by enantioselective rearrangements of indolyl enol carbonates in the presence of a nonracemic trityl-substituted dimethylaminopyridinemethanol)  
 RN 627877-90-1 CAPLUS  
 CN 1H-Indole-1,3-dicarboxylic acid, 2,3-dihydro-2-oxo-3-phenyl-, diphenyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 876337-66-5 CAPLUS  
 CN 1H-Indole-1,3-dicarboxylic acid, 2,3-dihydro-3-methyl-2-oxo-, diphenyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



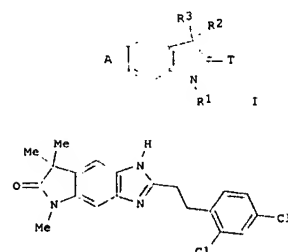
REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L16 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 2005:1235760 CAPLUS  
 DOCUMENT NUMBER: 144:6787  
 TITLE: Pyrrolbenzimidazolones and their use as antiproliferative agents  
 INVENTOR(S): McConnell, Darryl; Seurer, Steffen; Krist, Bernd; Weyer-Czernilofsky, Ulrike; Impagnatiello, Maria; Treu, Matthias; Kauffmann-Hefner, Iris; Garin-Chesa, Pilar; Schnapp, Andreas  
 PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany  
 SOURCE: Eur. Pat. Appl., 59 pp.  
 CODEN: EPXKDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

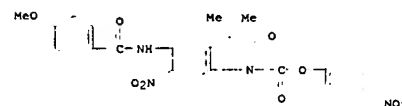
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1598353	A1	20051123	EP 2004-11703	20040517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, ZA, ZM, ZW				
WO 2005111040	A1	20051124	WO 2005-EP52200	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, PO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, CG, CZ, DE, DK, DM, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG				
US 2005261350	A1	20051124	US 2005-130542	20050517
PRIORITY APPL. INFO.:			EP 2004-11703	A 20040517

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L16 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



AB Title compds. I [R1 = (un)substituted alkyl, carbocyclic aryl, biarylalkyl, etc.; R2 and R3 independently = H, (un)substituted alkyl, and cycloalkyl, or R2 and R3 together form a spiroalkyl group; A = (un)substituted imidazole ring; T = O, S, or two H atoms], and their pharmaceutically acceptable salts, are prepared and disclosed as tubulin inhibitors. Thus, e.g. II was prepared by reaction of 5-amino-1,3,3-trimethyl-6-nitro-1,3-dihydroindol-2-one (preparation given) with 3-(2,4-dichlorophenyl)propionyl chloride followed by reductive cyclization. In in vitro tubulin polymerization assays, most of I were determined to be inhibitors. I are suitable for the treatment of diseases characterized by excessive or abnormal cell proliferation and the use thereof for preparing a pharmaceutical composition  
 IT 869846-82-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrrolbenzimidazolones and their use as antiproliferative agents)  
 RN 869846-82-2 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[(4-methoxybenzoyl)amino]-3,3-dimethyl-6-nitro-2-oxo-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

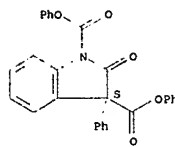


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS



L16 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2003:797111 CAPLUS  
DOCUMENT NUMBER: 140:4940  
TITLE: Development of Chiral Nucleophilic Pyridine  
Catalysts: Applications in Asymmetric Quaternary Carbon  
Synthesis  
AUTHOR(S): Shaw, Scott A.; Aleman, Pedro; Vedejs, Edwin  
CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann  
Arbor, MI, 48109, USA  
SOURCE: Journal of the American Chemical Society (2003),  
125(44), 13368-13369  
CODEN: JACSAT; ISSN: 0002-7863  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 140:4940  
AB 2,2,2-Triphenyl-1-acetoxyethyl(dimethylamino)pyridine (TADMAP), bearing a  
C(3)-benzylic trityl group over one face of the pyridine ring with a  
C(3)-benzylic acetoxy group creating a chirotopic environment on the  
other  
face, was designed as a chiral ligand and prepared in four steps (37%  
overall) from triphenylacetic acid and (dimethylamino)pyridine. TADMAP  
catalyzes the enantioselective rearrangement of heterocyclic enol  
carbonates to lactone- or lactam-based esters, e.g. oxazolyl carbonates  
to  
azlactones, furanyl Ph carbonate to the 3-phenoxy carbonyl 2-furanone,  
benzofuranyl carbonates to benzofuranones, and indolyl carbonates to  
oxindoles. These products are formed in good yields and, in most cases,  
with practical levels of enantiomeric excess at the newly formed  
quaternary carbon center. Crystal structure of the complex of (S)-TADMAP  
with (L)-dibenzoyltartaric acid is also reported.  
IT 627877-90-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(asym. synthesis of lactone and lactam-based esters via  
enantioselective rearrangement/acyl migration of heterocyclic enol  
carbonates catalyzed by chiral  
triphenylacetoxyethyl(dimethylamino)pyri  
dine)  
RN 627877-90-1 CAPLUS  
CN 1H-Indole-1,3-dicarboxylic acid, 2,3-dihydro-2-oxo-3-phenyl-, diphenyl  
ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

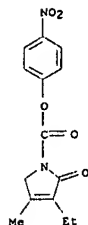


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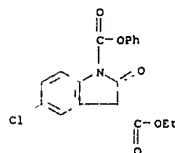
L16 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2003:551308 CAPLUS  
DOCUMENT NUMBER: 139:101018  
TITLE: Preparation of  
trans-3-ethyl-2,5-dihydro-4-methyl-N-[2-  
[4-[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]-2-oxo-1H-pyrrole-1-carboxamide  
(glimepiride) from 3-ethyl-4-methyl-3-pyrrolidin-2-  
one, 4-nitrophenyl chloroformate, 4-(2-  
aminoethyl)benzenesulfonamide, and trans-4-  
methylcyclohexyl isocyanate.  
Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni,  
Rohit Ravikant  
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India  
SOURCE: PCT Int. Appl., 35 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:  

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057131	A2	20030717	WO 2003-IN4	20030106
WO 2003057131	A3	20030828		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003235814	A1	20030724	AU 2003-235814	20030106
US 2005070593	A1	20050331	US 2004-501743	20040630
PRIORITY APPLN. INFO.:			IN 2002-MU9	A 20020107
			WO 2003-IN4	W 20030106

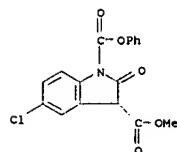
OTHER SOURCE(S): CASREACT 139:101018; MARPAT 139:101018  
AB Glimepiride was prepared by successive treatment of 3-ethyl-4-methyl-3-pyrrolidin-2-one with XCO<sub>2</sub>R [X = halo, nitroaryl, haloaryl; Z = O, S, NY; Y = alkyl, haloalkyl, aralkyl; R = (substituted) aryl, heteroaryl], 4-(2-aminoethyl)benzenesulfonamide, and trans-4-methylcyclohexyl isocyanate.  
IT 561052-28-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of glimepiride from ethylmethylpyrrolidinone, nitrophenyl chloroformate, aminoethylbenzenesulfonamide, and methylcyclohexyl isocyanate)  
RN 561052-28-6 CAPLUS  
CN 1H-Pyrrole-1-carboxylic acid, 3-ethyl-2,5-dihydro-4-methyl-2-oxo-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2000:529077 CAPLUS  
 DOCUMENT NUMBER: 133:309814  
 TITLE: Synthesis of 1,3-di[alkoxy(aryloxy)carbonyl]-2-oxo-2,3-dihydroindoles  
 AUTHOR(S): Porcs-Makkay, M.; Argay, G.; Kalman, A.; Simig, G.  
 CORPORATE SOURCE: Chemical Research Division, EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.  
 SOURCE: Tetrahedron (2000), 56(32), 5893-5903  
 CODEN: TETRA; ISSN: 0040-4020  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 133:309814  
 AB Two protocols were developed for the synthesis of 1,3-di[alkoxy(aryloxy)carbonyl]-2-oxo-2,3-dihydroindoles starting from the corresponding N,O-diacyl derivs. obtained by treatment of 2-oxindoles with chloroformic acid esters and NEt<sub>3</sub>. The 1st is rearrangement of N,O-diacylated compds. in the presence of 4-dimethylaminopyridine to give N,C(3)-diacylated products with identical acyl groups in the two positions. The 2nd involves O-deacylation of the N,O-diacylated compds., followed by O-acylation and rearrangement resulting N,C(3)-diacylated 2-oxindoles with different acyl groups in the two positions.  
 IT 301700-67-4P 301700-68-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 301700-67-4 CAPLUS  
 CN 1H-Indole-1,3-dicarboxylic acid, 5-chloro-2,3-dihydro-2-oxo-, 3-ethyl 1-phenyl ester (9CI) (CA INDEX NAME)

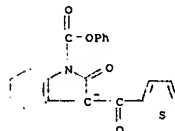


RN 301700-68-5 CAPLUS  
 CN 1H-Indole-1,3-dicarboxylic acid, 5-chloro-2,3-dihydro-2-oxo-, 3-methyl 1-phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

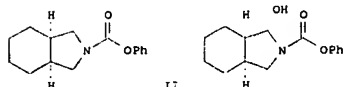
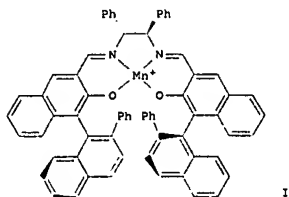
ACCESSION NUMBER: 1999:757325 CAPLUS  
 DOCUMENT NUMBER: 132:107844  
 TITLE: New Practical Synthesis of Tenidap  
 AUTHOR(S): Porcs-Makkay, Marta; Simig, Gyula  
 CORPORATE SOURCE: Chemical Research Division, EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.  
 SOURCE: Organic Process Research & Development (2000), 4(1), 10-16  
 CODEN: OPRDFX; ISSN: 1083-6160  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The development of a new, practical synthesis to tenidap is described. N,O-dialkoxy(aryloxy)carbonylation of 5-chloro-2-oxo-2,3-dihydroindole, followed by removal of the O-alkoxy(aryloxy)carbonyl group gave 1-[alkoxy(aryloxy)carbonyl]-5-chloro-2-oxo-2,3-dihydroindoles in good yields. The latter compds. were thenoylated in the 3-position. The role of DMAP in the acylation reaction is discussed. The structures of the thenoylated products and their enolate salts were investigated both in solution and solid phases. Ammonolysis of 5-chloro-3-[1-hydroxy-1-(2-thienyl)methylene]-2-oxo-1-phenoxycarbonyl-2,3-dihydroindole afforded the corresponding 1-carbamoyl derivative (tenidap) in high yield. The corresponding 1-ethoxy- and 1-methoxycarbonyl derivs. could not be similarly transformed to tenidap; loss of the alkoxy(aryloxy) moiety occurred instead of carbamoylation.  
 IT 255712-75-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (practical synthesis of tenidap)  
 RN 255712-75-5 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-2-oxo-3-(2-thienylcarbonyl)-, phenyl ester, ion(1-), ammonium (9CI) (CA INDEX NAME)



• NH<sub>4</sub><sup>+</sup>

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L16 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:476793 CAPLUS  
 DOCUMENT NUMBER: 131:257399  
 TITLE: Asymmetric desymmetrization of meso-pyrrolidine derivatives by enantiotopic selective CH hydroxylation  
 using (salen)manganese(III) complexes  
 AUTHOR(S): Punniyamurthy, T.; Katsuki, Tautomu  
 CORPORATE SOURCE: Department of Molecular Chemistry, Graduate School of Science, Kyushu University 33, Fukuoka, 812-8581, Japan  
 SOURCE: Tetrahedron (1999), 55(31), 9439-9454  
 CODEN: TETRAH; ISSN: 0040-4020  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 131:257399  
 GI

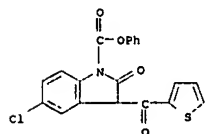


AB Chiral (salen)manganese(III) complexes, e.g. I-PF6-, catalyzed the asym. desymmetrization of N-protected meso-pyrrolidine derivs., e.g. II, by enantiotopic selective CH oxidation in the presence of terminal iodossylbenzene. The oxidation occurred chemoselectively at the carbon  $\alpha$  to the nitrogen atom to afford optically active hydroxypyrrolidine derivs., e.g. III, that were further oxidized to chiral lactams with Jones reagent. The N-protecting groups of the meso-pyrrolidine derivs. have notable effect on the enantioselectivity.  
 IT 245037-06-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

L16 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1997:679082 CAPLUS  
 DOCUMENT NUMBER: 127:318879  
 TITLE: Preparation of tenidap.  
 INVENTOR(S): Blasko, Gabor; Lukacs, Gyula; Reiter, Jozsefne; Florian, Endrene; Porcs-Makkay, Marta; Mezei, Tibor; Simig, Gyula  
 PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.; Blasko, Gabor; Lukacs, Gyula; Reiter, Jozsefne; Florian, Endrene; Porcs-Makkay, Marta; Mezei, Tibor; Simig, Gyula  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: P1XXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

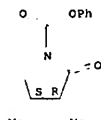
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736895	A1	19971009	WO 1997-HU13	19970403
W:	AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KS, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9721735	A1	19971022	AU 1997-21735	19970403
PRIORITY APPLN. INFO.:			HU 1996-855	A 19960403
			WO 1997-HU13	W 19970403

OTHER SOURCE(S): CASREACT 127:318879  
 AB Preparation of tenidap by 4 methods is claimed. Thus, 1-phenoxycarbonyl-5-chloro-3-(2-thienoyl)-2-oxindole (preparation given) was stirred with ammonium carbonate in DMF for 5 h at 75-80° to give 80.53% tenidap.  
 IT 197776-11-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of tenidap)  
 RN 197776-11-7 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 5-chloro-2,3-dihydro-2-oxo-3-(2-thienylcarbonyl)-, phenyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 (prepn. of optically active lactams via enantioselective hydroxylation of meso-pyrrolidines catalyzed by chiral (salen)manganese(III) complexes)  
 RN 245037-06-3 CAPLUS  
 CN 1-Pyrrolidinecarboxylic acid, 3,4-dimethyl-2-oxo-, phenyl ester, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

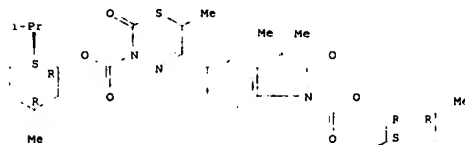


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L16 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1996:697870 CAPLUS  
 DOCUMENT NUMBER: 126:84074  
 TITLE: Stereospecificity of myofibrillar calcium sensitivity and PDE inhibition in cardiotoxic thiazidinones  
 AUTHOR(S): Nadler, G.; Delimoge, I.; Lahourate, P.; Leger, I.; Morvan, M.; Zimmermann, R. G.  
 CORPORATE SOURCE: Unite Recherche, SmithKline Beecham Laboratoires Pharmaceutiques, Saint-Gregoire, 35762, Fr.  
 SOURCE: European Journal of Medicinal Chemistry (1996), 31(10), 805-812  
 CODEN: EJMCAS; ISSN: 0223-5234  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 126:84074

AB In pyridazinone or thiazidinone cardiotoxic agents with one chiral center, the PDE inhibitory action resides, mainly in one enantiomer and the myofibrillar calcium sensitization mainly in the other. This phenomena is observed when the chiral center is located on the pyridazinone or thiazidinone heterocycle, but cannot be extended to structures where the chiral center is elsewhere on the mol. For the first time a stereoselective synthesis of a 5-substituted 3,6-dihydro-6-methyl-2H-1,3,4-thiadiazine-2-one has been achieved and an absolute configuration is proposed.  
 IT 185199-15-9P 185199-19-3P 185199-21-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; stereospecificity of myofibrillar calcium sensitivity and phosphodiesterase inhibition in cardiotoxic thiazidinones)  
 RN 185199-15-9 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 5-[3,6-dihydro-6-methyl-3-[[[5-methyl-2-(1-methylethyl)cyclohexyl]oxy]carbonyl]-2-oxo-2H-1,3,4-thiadiazin-5-yl]-2,3-dihydro-3,3-dimethyl-2-oxo-, 5-methyl-2-(1-methylethyl)cyclohexyl ester, [1R-[1a(1R\*,2S\*,5R\*)],2R,5a]]-[partial]- (9CI) (CA INDEX NAME)

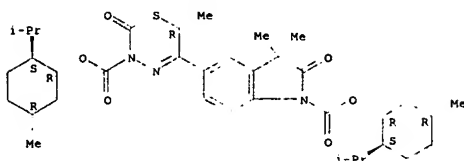
Absolute stereochemistry.



RN 185199-19-3 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 5-[3,6-dihydro-6-methyl-3-[[[5-methyl-2-(1-methylethyl)cyclohexyl]oxy]carbonyl]-2-oxo-2H-1,3,4-thiadiazin-5-yl]-2,3-dihydro-3,3-dimethyl-2-oxo-, 5-methyl-2-(1-methylethyl)cyclohexyl ester, [1R-[1a(1R\*,2S\*,5R\*)],2R,5a]]-[partial]- (9CI) (CA INDEX NAME)

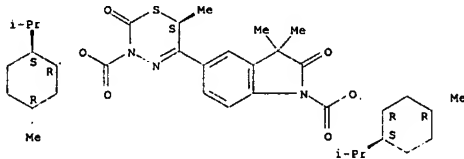
Absolute stereochemistry. Rotation (+).

L16 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 185199-21-7 CAPLUS  
CN 1H-Indole-1-carboxylic acid, 5-[3,6-dihydro-6-methyl-3-[[[5-methyl-2-(1-methylethyl)cyclohexyl]oxy]carbonyl]-2-oxo-2H-1,3,4-thiadiazin-5-yl]-2,3-dihydro-3,3-dimethyl-2-oxo-, 5-methyl-2-(1-methylethyl)cyclohexyl ester, [1R-[1a[S\*(1R\*,2S\*,5R\*)],2β,5a]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD.

FORMATT

L16 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1995:701861 CAPLUS  
DOCUMENT NUMBER: 123:111848  
TITLE: N-(aza heterocycle)carbonyl-substituted indolones useful as serotonergic agents  
INVENTOR(S): Becker, Daniel P.; Flynn, Daniel L.; Villamil, Clara I.  
PATENT ASSIGNEE(S): G. D. Searle and Co., USA  
SOURCE: U.S., 15 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5395562	A	19950321	US 1994-191340	19940204
PRIORITY APPLN. INFO.:			US 1994-191840	19940204

OTHER SOURCE(S): MARPAT 123:111848  
GI

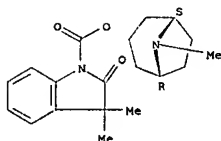
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention relates to indolone compds. of the formula I or a pharmaceutically acceptable salt thereof wherein Z is selected from the group consisting of 11-X1: R1 and R2 are independently H, halogen, alkyl, aralkyl, amino, alkoxy, alkylthio, acylamino, hydroxy, nitro, aminocarbonyl, or aminosulfonyl; R3 and R4 are independently H, C1-6 alkyl, or together comprise C2-5 cycloalkyl, optionally substituted by C1-6 alkyl; X = NR5 or O; n is 0, 1 or 2; and R5 is hydrogen or alkyl of one to six carbon atoms which are useful as 5-HT4 agonists or antagonists and 5-HT3 antagonists. Thus, e.g., reaction of endo-3-aminotripane with triphosgene and 1,3-dihydro-3,3-dimethyl-2H-indol-2-one, followed by workup and HCl treatment afforded indolone XII which displayed 5-HT4 agonism in rat TM4 (tunica muscularis mucosae) in vitro assay of EC50 = 1214 nM; XII displayed 5-HT3 antagonism of Ki = 4.0 nM.

IT 165379-27-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (N-(aza heterocycle)carbonyl-substituted indolones useful as serotonergic agents)  
RN 165379-27-1 CAPLUS  
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-3,3-dimethyl-2-oxo-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

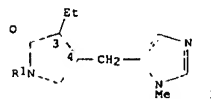
L16 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L16 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1991:632242 CAPLUS  
DOCUMENT NUMBER: 115:232242  
TITLE: Preparation of pilocarpine analogs as antiglaucoma agents  
INVENTOR(S): Albaugh, Pamela  
PATENT ASSIGNEE(S): Allergan, Inc., USA  
SOURCE: Eur. Pat. Appl., 24 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 429232	A1	19910529	EP 1990-312351	19901113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5264449	A	19931123	US 1989-434929	19891113
CA 2027604	AA	19910514	CA 1990-2027604	19901015
ZA 9008386	A	19910828	ZA 1990-4386	19901019
IL 96088	A1	19950330	IL 1990-56088	19901023
AU 9066528	A1	19910516	AU 1990-66528	19901109
AU 631025	B2	19921112		
NO 9004901	A	19910514	NO 1990-4901	19901112
NO 177056	B	19950403		
NO 177056	C	19950712		
RU 2015978	C1	19940715	RU 1990-4831750	19901112
CN 1051730	A	19910529	CN 1990-109110	19901113
CN 1026589	B	19941116		
JP 03188075	A2	19910816	JP 1990-308428	19901113
HU 56360	A2	19910828	HU 1990-7116	19901113
HU 207512	B	19930428		
PRIORITY APPLN. INFO.:			US 1989-434929	A 19891113

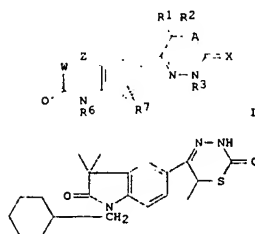
OTHER SOURCE(S): MARPAT 115:232242  
GI



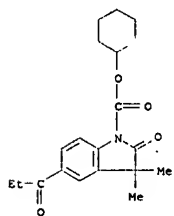
AB The title compds. [(3R, 4R)-I: R1 = CO2R; R = (un)substituted hydrocarbyl]  
were prepared. Thus, 4-(Me3C)C6H4CH2OH was condensed with ClCO2C6H4(NO2)-4 and the product condensed with I (R1 = H) to give I [R1 = CH2C6H4(CMe3)-4] which gave approx. 1.25 mm decrease in rabbit pupil diameter 6 h after administration of a 1% solution. An ophthalmic prep comprising I is given.  
IT 137140-89-7P

CC1=C(C(=O)N1C(=O)OC23CC4CC(CC(C4C2)C3)C5)CC6=CN(C)C=C6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 381374	A1	19900808	EP 1990-300778	19900125
RI: CH, DE, FR,	GB, IT, LI, NL			
JP 02288875	A2	19901128	JP 1990-15166	19900126
PRIORITY APPLN. INFO.:			GB 1989-1836	A 19890127
OTHER SOURCE(S):	MARPAT 114:62126			
GI				

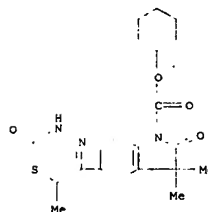


L16 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 131609-30-8 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-3,3-dimethyl-2-oxo-5-(1-oxopropyl)-, cyclohexyl ester (9CI) (CA INDEX NAME)

CC(C)N(C(=O)OC1CCCCC1)C(=O)C(Br)C

IT 131609-56-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as phosphodiesterase inhibitor)  
RN 131609-56-8 CAPLUS  
CN 1H-Indole-1-carboxylic acid, 5-(3,6-dihydro-6-methyl-2-oxo-2H-1,3,4-thiadiazin-5-yl)-2,3-dihydro-3,3-dimethyl-2-oxo-, cyclohexyl ester (9CI)  
(CA INDEX NAME)

L16 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L16 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:497293 CAPLUS  
DOCUMENT NUMBER: 111:97293

TITLE: Preparation of substituted thiadiazinylindolones or quinolones useful in the treatment of heart or asthmatic diseases

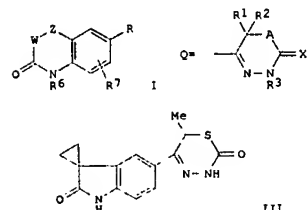
INVENTOR(S): Martin, Michel; Nadler, Guy; Zimmermann, Richard  
PATENT ASSIGNEE(S): Laboratoires Sobie S. A., Fr.  
SOURCE: Eur. Pat. Appl., 59 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 303418	A2	19890215	EP 1988-307281	19880805
EP 303418	A3	19901107		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8804452	A	19890212	DK 1988-4452	19880809
AU 8820566	A1	19890216	AU 1988-20566	19880809
ZA 8805841	A	19890927	ZA 1988-5841	19880809
US 4933336	A	19900612	US 1988-230314	19880809
JP 01110681	A2	19890427	JP 1988-198136	19880810
PRIORITY APPLN. INFO.:				
GB 1987-18957 A 19870811				
GB 1988-11276 A 19880512				

OTHER SOURCE(S): MARPAT 111:97293  
GI



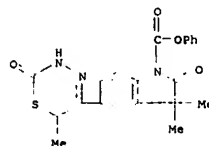
AB The title compds. [I: R = Q; R1 = H, lower alkyl, CH2OR6; R2, R3 = H, lower alkyl; W, Z = different CR4R5, (CR8R9)n; R4 = H, C1-3 alkyl, C1-3 alkylthio, C1-3 alkoxy; R5 = C1-3 alkyl, C1-3 alkylthio, C1-3 alkoxy; or CR4R5 = 3 to 6-membered carbocyclic ring or heterocyclic ring containing 1 or 2 ring O, N, or S; or R4R5 = O, CH2; R6 = H, lower alkyl, alkylcarbonyl, heteroarylcarbonyl, aralkylcarbonyl, (un)substituted CONH2, lower alkoxycarbonyl, aryloxy carbonyl; R7 = H, lower alkyl; R8, R9 = H, C1-3

L16 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

alkyl; n = 0, 1; X = O, S; A = O, S] (II), were prep. 5-[(2-Chloro-1-oxo)propyl]-spiro[cyclopropane-1,3'-[3H]-indol]-2'-(1'H)-one (prepn. given), MeOC(S)NHNH2, and MeCN were refluxed 6 h to give 49% thiadiazinylindolone (III). III at 0.03 mg/kg p.o. showed cardiotoxic activity in male beagle dogs with first deriv. of left ventricular pressure (dP/dt, mmHg/s) = +105 and heart rate (beats/min) = +21.

IT 122280-93-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as cardiotonic and antiasthmatic)

RN 122280-93-7 CAPLUS  
CN 1H-Indole-1-carboxylic acid, 5-(3,6-dihydro-6-methyl-2-oxo-2H-1,3,4-thiadiazin-5-yl)-2,3-dihydro-3,3-dimethyl-2-oxo-, phenyl ester (9C1) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

66.89

752.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-9.75

-12.00

STN INTERNATIONAL LOGOFF AT 10:25:48 ON 21 JUL 2006